

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
21 July 2005 (21.07.2005)

PCT

(10) International Publication Number
WO 2005/066178 A1

(51) International Patent Classification⁷: **C07D 487/16**,
A61K 31/505, A61P 25/00

(74) Agents: ASAMURA, Kiyoshi et al.; Room 331, New
Ohtemachi Bldg., 2-1, Ohtemachi 2-chome, Chiyoda-ku,
Tokyo, 1000004 (JP).

(21) International Application Number:
PCT/JP2005/000323

(22) International Filing Date: 6 January 2005 (06.01.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
2004-001312 6 January 2004 (06.01.2004) JP

(71) Applicant (for all designated States except US): **TAISHO
PHARMACEUTICAL CO., LTD.** [JP/JP]; 24-1, Takada
3-chome, Toshima-ku, Tokyo, 1708633 (JP).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **NAKAZATO**,
Atsuro [JP/JP]; c/o Taisho Pharmaceutical Co., Ltd.,
24-1, Takada 3-chome, Toshima-ku, Tokyo, 1708633 (JP).
OKUBO, Taketoshi [JP/JP]; c/o Taisho Pharmaceutical
Co., Ltd., 24-1, Takada 3-chome, Toshima-ku, Tokyo,
1708633 (JP). **NOZAWA**, Dai [JP/JP]; c/o Taisho Phar-
maceutical Co., Ltd., 24-1, Takada 3-chome, Toshima-ku,
Tokyo, 1708633 (JP). **TAMITA**, Tomoko [JP/JP]; c/o
Taisho Pharmaceutical Co., Ltd., 24-1, Takada 3-chome,
Toshima-ku, Tokyo, 1708633 (JP). **KENNIS**, Ludo, E.J.
[BE/BE]; c/o Janssen Pharmaceutica N.V., Turnhoutseweg
30, Beerse, B-2340 (BE).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

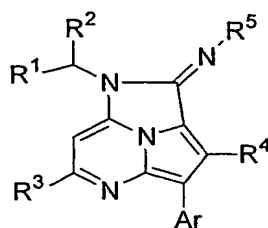
(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO,
SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: **TRIAZA-CYCLOPENTA[CD]INDENE DERIVATIVES**



[I]

(57) Abstract: An object of the present invention is to provide an antagonist against CRF receptors which is effective as a therapeutic or prophylactic agent for diseases in which CRF is considered to be involved, such as depression, anxiety, Alzheimer's disease, Parkinson's disease, Huntington's chorea, eating disorder, hypertension, gastral diseases, drug dependence, epilepsy, cerebral infarction, cerebral ischemia, cerebral edema, cephalic external wound, inflammation, immunity-related diseases, alpecia, irritable bowel syndrome, sleep disorders, dermatitides, schizophrenia, pain, etc. A triaza-cyclopenta[cd]indene derivative represented by the following formula [I]: has a high affinity for CRF receptors and is effective against diseases in which CRF is considered to be involved.